

- (c) if said test compound inhibits SXR trans activation of said SXR target gene, identifying said test compound as a functional analog of Ecteinascidin-743 that inhibits drug resistance.

95. A method of claim 94 wherein said SXR target gene is *mdr1*.

96. A functional analog of Ecteinascidin-743 identified according to the method of claim 94.

97. A composition identified according to the method of claim 94.

98. A method of preventing drug resistance in a patient in need thereof, comprising administering to said patient a drug-resistance-preventing amount of a functional analog of Ecteinascidin-743 according to claim 96.

99. A method of claim 98 wherein said patient is a cancer patient having a tumor.

100. A method of claim 99 wherein said functional analog of Ecteinascidin-743 inhibits SXR trans activation of *mdr1* gene transcription in said tumor.

101. A method of preventing drug resistance in a patient receiving treatment with a chemotherapeutic agent, comprising coadministering to said patient said chemotherapeutic agent and a

drug-resistance-preventing amount of an agent selected from the group consisting of Ecteinascidin-743 and a drug-resistance functional analog thereof according to claim 96.

102. A method of claim 101 wherein said chemotherapeutic agent is an antineoplastic agent.

103. A method of claim 94 wherein said drug-resistance functional analog of Ecteinascidin-743 inhibits the ability of SXR to trans activate mdr1 gene transcription.

104. A method of claim 94 wherein said drug-resistance functional analog of Ecteinascidin-743 is an SXR antagonist.

105. A method of claim 104 wherein said SXR antagonist prevents displacement of an SXR corepressor from SXR.

106. A method of claim 104 wherein said SXR antagonist prevents binding of an SXR ligand to the SXR ligand binding domain.

107. A method of claim 104 wherein said SXR antagonist inhibits interaction between SXR and an SXR coactivator.

108. A method of claim 107 wherein said SXR coactivator is selected from the group consisting of SRC1, ACTR, GRIP, PBP and an SXR coactivator mimetic peptide.

109. A method of claim 104 wherein said SXR antagonist is cytotoxic to tumor cells.

110. A method of claim 94 wherein said determining whether said test compound inhibits SXR trans activation of an SXR target gene comprises:

- (a) providing test cells *in vitro*;
- (b) measuring the amount of P-glycoprotein in said cells in the absence of said test compound;
- (c) adding said test compound to said cells;
- (d) measuring the amount of P-glycoprotein in said cells in the presence of said test compound; and
- (e) determining whether the amount of P-glycoprotein in said cells decreases with addition of said test compound to said cells.

111. A method of claim 94 wherein said determining whether said test compound inhibits SXR trans activation of an SXR target gene comprises:

- (a) providing test cells *in vitro*;
- (b) measuring the amount of expression of a reporter gene in said cells in the absence of said test compound;
- (c) adding said test compound to said cells;
- (d) measuring the amount of expression of said reporter gene in said cells in the presence of said test compound; and
- (e) determining whether the amount of expression of said reporter gene in said cells decreases with addition of said test compound to said cells,

wherein expression of said reporter gene is regulated by the functional association of the ligand binding domain of SXR with an SXR coactivator.